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=> d que
L1                STR
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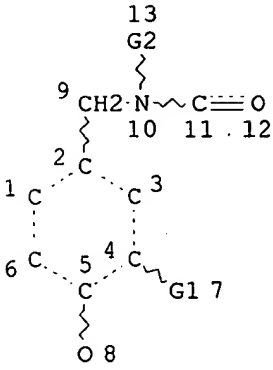

O @14 O~Ak @15 16 O~Cb @17 18 O~Ak~Cb @19 20 21

Search for R = (d2) (claim 22)

Ak @22

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VAR G1=14/15/17/19
VAR G2=H/22
NODE ATTRIBUTES:
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CONNECT IS E2  RC AT   3
CONNECT IS E2  RC AT   6
CONNECT IS E3  RC AT  11
CONNECT IS E1  RC AT  14
CONNECT IS E1  RC AT  16
CONNECT IS E1  RC AT  18
CONNECT IS E2  RC AT  20
CONNECT IS E1  RC AT  21
CONNECT IS E1  RC AT  22
DEFAULT MLEVEL IS ATOM
GGCAT   IS SAT  AT  18
GGCAT   IS UNS  AT  21
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS X7 C  AT  18
ECOUNT  IS M6 C  AT  21

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE
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L5               STR
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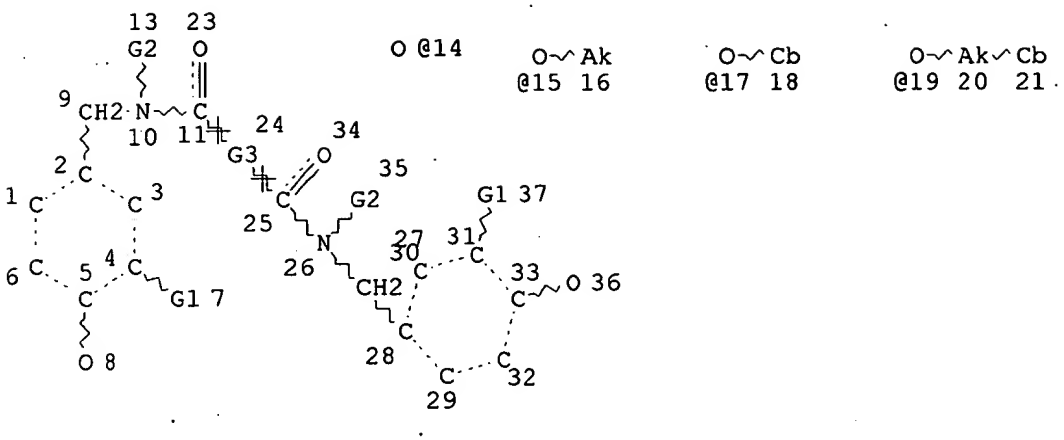

Ak @22

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VAR G1=14/15/17/19
VAR G2=H/22
REP G3=(0-20) A
NODE ATTRIBUTES:
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CONNECT IS E2  RC AT   3
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CONNECT IS E1  RC AT  14
CONNECT IS E1  RC AT  16
CONNECT IS E1  RC AT  18
CONNECT IS E2  RC AT  20
CONNECT IS E1  RC AT  21
CONNECT IS E1  RC AT  22
DEFAULT MLEVEL IS ATOM
GGCAT   IS SAT  AT  18
GGCAT   IS UNS  AT  21
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS X7 C  AT  18
ECOUNT  IS M6 C  AT  21

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  36

STEREO ATTRIBUTES: NONE
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L7             9 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6
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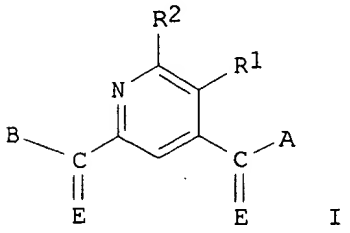
=> d ibib abs hitstr l7 1-9

L7 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:637657 HCAPLUS
DOCUMENT NUMBER: 137:185420
TITLE: Preparation of pyridinedicarboxamide and -dicarboxylic acid derivatives as selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses

INVENTOR(S): Barvian, Nicole Chantel; Connor, David Thomas; O'brien, Patrick Michael; Ortwine, Daniel Fred; Patt, William Chester; Shuler, Kevon Ray; Wilson, Michael William
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064568	A1	20020822	WO 2002-IB345	20020204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002161000	A1	20021031	US 2002-71073	20020208

PRIORITY APPLN. INFO.: US 2001-268781P P 20010214
OTHER SOURCE(S): MARPAT 137:185420
GI



I

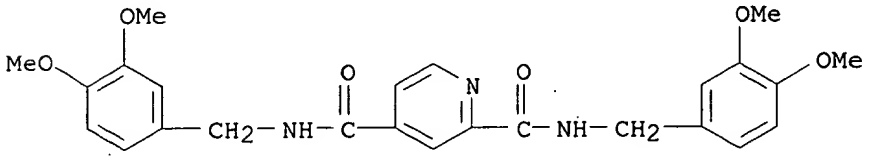
AB Selective MMP-13 inhibitors are pyridine derivs. (I; e.g. pyridine-2,4-dicarboxylic acid bis(3-methoxybenzylamide)) or a pharmaceutically acceptable salt thereof, wherein: R1 and R2 independently are H, halo, hydroxy, C1-C6 alkyl, C1-C6 alkoxy, C2-C6 alkenyl, C2-C6 alkynyl, NO2, NR4R5, CN, or CF3; E is independently O or S; A and B independently are OR4 or NR4R5; R4 and R5 independently are H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, (CH2)n aryl, (CH2)n cycloalkyl, (CH2)n heteroaryl, or R4 and R5 when taken together with the N to which they are attached complete a 3- to 8-membered ring contg. C atoms and optionally contg. a heteroatom selected from O, S, or NH, and optionally substituted or unsubstituted; n is 0 to 6. Although I and other Markush structures in the patent show 2,4- derivs., many specific 3,5- derivs. are included in the claims and examples. Combinatorial and non-combinatorial methods were used to prep. numerous claimed compds. and characterization data is reported for about 90 compds. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. MMP-1 and MMP-3 and the

potent MMP-13 inhibitory activity (e.g. 0.033 .mu.M for pyridine-2,4-dicarboxylic acid bis[((1,3-benzodioxol-5-yl)methyl)amide]).

IT **449734-48-9P**, Pyridine-2,4-dicarboxylic acid bis(3,4-dimethoxybenzylamide)
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(prepn. of pyridine-2,4-dicarboxamide and -dicarboxylic acid derivs. as selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449734-48-9 HCAPLUS

CN 2,4-Pyridinedicarboxamide, N,N'-bis[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:555444 HCAPLUS

DOCUMENT NUMBER: 137:124995

TITLE: Preparation of symmetrically disubstituted aromatic compounds and pharmaceutical compositions for the inhibition and/or modulation of human poly(ADP-ribose) glycohydrolase (PARG) activity

INVENTOR(S): Li, Jia-He; Ferraris, Dana V.; Kletzly, Paul W.; Li, Weixing; Wang, Eric Yanjun; Xing, Amy D.; Xu, Weizheng; Zhang, Jie

PATENT ASSIGNEE(S): Guilford Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

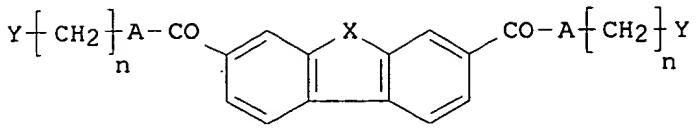
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057211	A1	20020725	WO 2001-US11623	20010410
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002132852	A1	20020919	US 2001-829827	20010410

PRIORITY APPLN. INFO.: US 2001-261738P P 20010116
OTHER SOURCE(S): MARPAT 137:124995
GI



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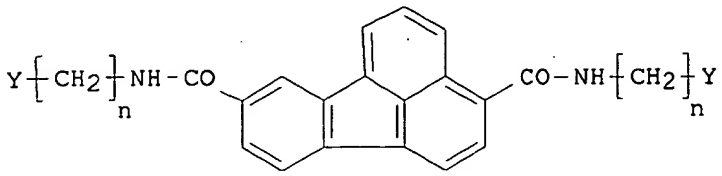
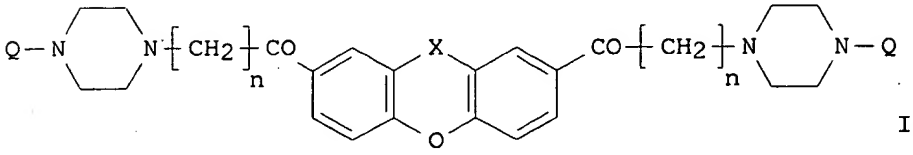


II



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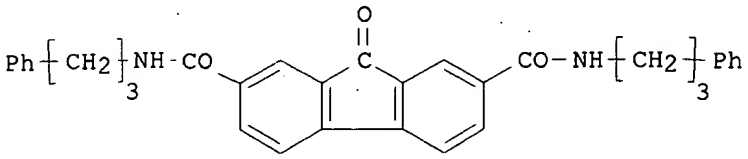


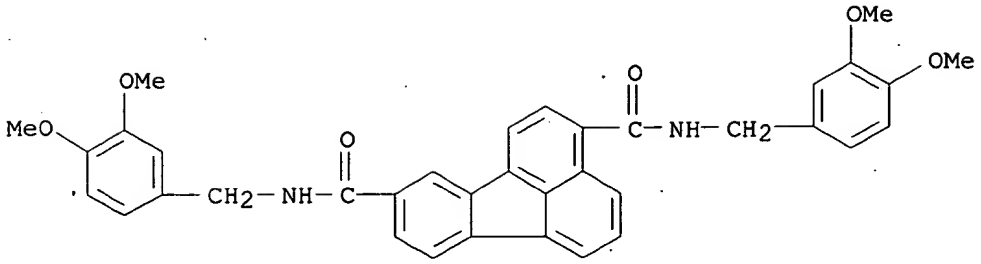
IV

AB Title compds. I, II, III, etc., pharmaceutically acceptable salts, prodrugs, or metabolites [A = CH2, O, S, NH; n = 0-4; Q = (un)substituted aryl, heteroaryl; X = CO, CH2, CCl2; Y = H, (un)substituted cycloalkyl, aryl, etc.] were prepd. For example, amidation of 9-fluorenone-2,7-diacyl chloride with 3-phenylpropylamine provided fluorenone IV, which inhibited human recombinant PARG at an IC50 of 1.7 .mu.M. PARG IC50 inhibition studies for an addnl. 59 examples are provided, ranging in values from 1.1-106 .mu.M. Compds. I-III are useful in treating diseases and disorders due to free radical or reactive oxygen species, induced cellular energy depletion and/or tissue damage resulting from cell damage or death.

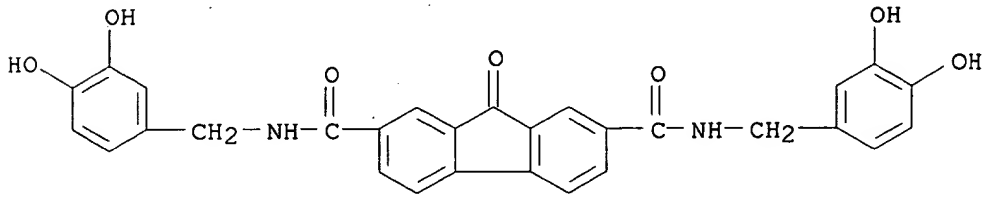
IT **443794-52-3P 443794-81-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of sym. disubstituted arom. compds. for the inhibition and/or modulation human PARG activity)

RN 443794-52-3 HCAPLUS

CN 3,9-Fluoranthenedicarboxamide, N,N'-bis[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 443794-81-8 HCAPLUS
CN 9H-Fluorene-2,7-dicarboxamide, N,N'-bis[(3,4-dihydroxyphenyl)methyl]-9-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

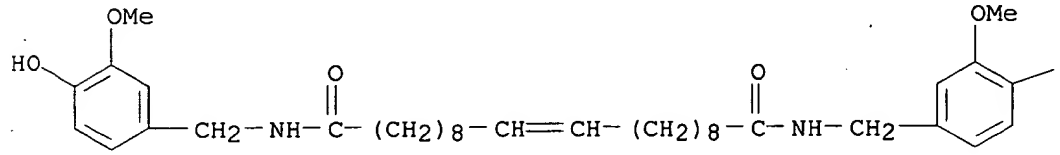
L7 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:511742 HCAPLUS
DOCUMENT NUMBER: 137:216814
TITLE: N-Acylvanillamides: Development of an Expeditious Synthesis and Discovery of New Acyl Templates for Powerful Activation of the Vanilloid Receptor
AUTHOR(S): Appendino, Giovanni; Minassi, Alberto; Morello, Aniello Schiano; De Petrocellis, Luciano; Di Marzo, Vincenzo
CORPORATE SOURCE: Dipartimento di Scienze Chimiche Alimentari, Farmaceutiche e Farmacologiche, Novara, 28100, Italy
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3739-3745
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:216814
AB A simple and general synthesis of vanillamides was developed and employed to screen acids from the fatty and isoprenoid pools for new acyl templates of biol. relevance as capsaicin analogs. Potent activation of the human vanilloid receptor 1 (VR1) was obsd. for the vanillamides of certain polyfunctional acids from both pools, showing that the vanilloid activity of capsaicinoids can be substantially improved by introducing polar groups and/or unsaturations on the acyl moiety. The activity of the unsatd. analogs was maintained or even increased by cyclopropanation, while .omega. dimerization led to a substantial increase of activity. Because of the wide structural diversity of the library of compds. screened, these observations could not be translated into a single framework of

structure-activity relationships. Nevertheless, a series of new highly active leads was identified, validating the pharmacol. potential of the unnatural combination of natural building blocks to provide new bioactive compds.

IT **457067-23-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-acylvanillamines as templates for vanilloid receptor activators)

RN 457067-23-1 HCAPLUS
CN 10-Eicosenediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

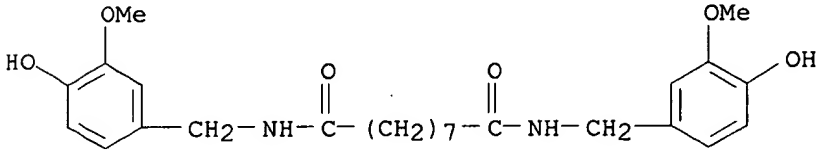


PAGE 1-B

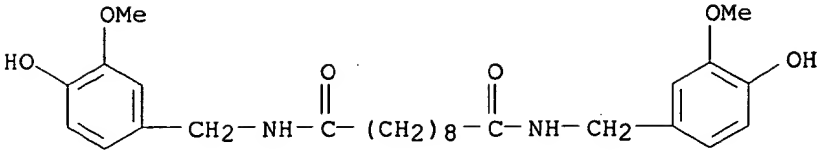
OH

IT **261946-50-3P 457067-21-9P 457067-22-0P**
457067-24-2P 457067-25-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of N-acylvanillamines as templates for vanilloid receptor activators)

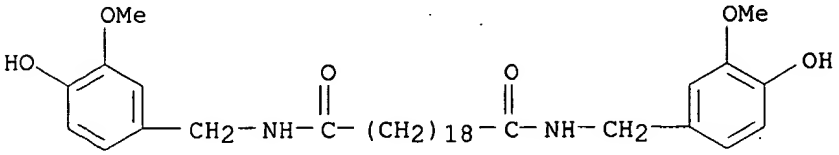
RN 261946-50-3 HCAPLUS
CN Nonanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 457067-21-9 HCAPLUS
CN Decanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

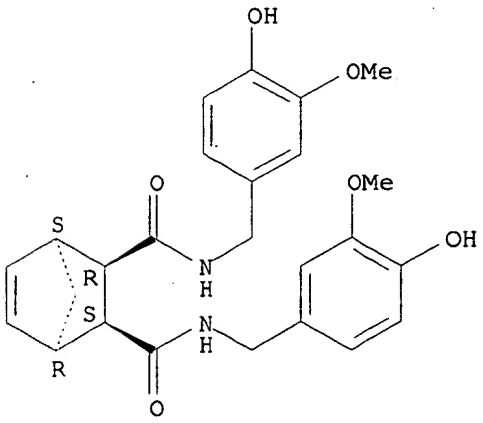


RN 457067-22-0 HCAPLUS
CN Eicosanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



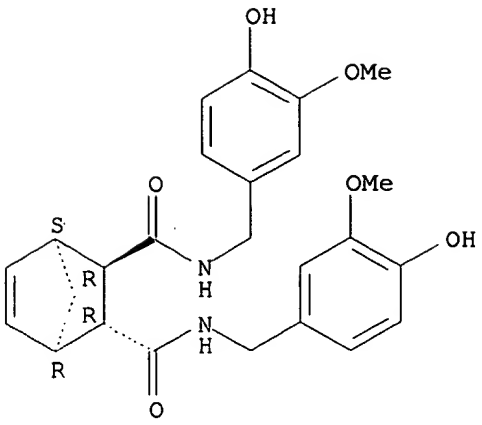
RN 457067-24-2 HCAPLUS
CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]-, (1R,2S,3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 457067-25-3 HCAPLUS
CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]-, (1R,2R,3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



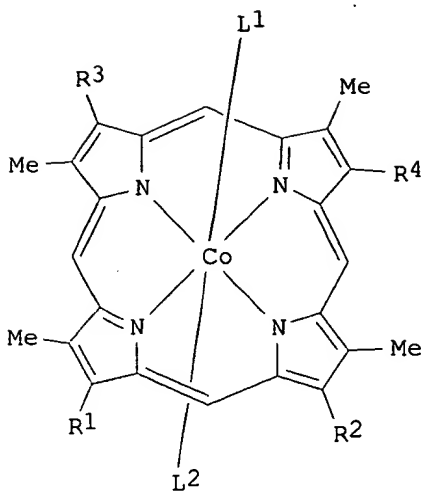
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:466014 HCAPLUS
DOCUMENT NUMBER: 137:27397
TITLE: Cobalt-porphyrin complexes and use thereof as an anti-obesity agent
INVENTOR(S): Szabo, Tomas R.; Ghosh, Soumitra S.; Davis, Robert E.
PATENT ASSIGNEE(S): Mitokor, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048154	A2	20020620	WO 2001-US48279	20011214
WO 2002048154	A3	20020919		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002041628	A5	20020624	AU 2002-41628	20011214
US 2002165216	A1	20021107	US 2001-20867	20011214

PRIORITY APPLN. INFO.: US 2000-255960P P 20001215
WO 2001-US48279 W 20011214

OTHER SOURCE(S): MARPAT 137:27397
GI



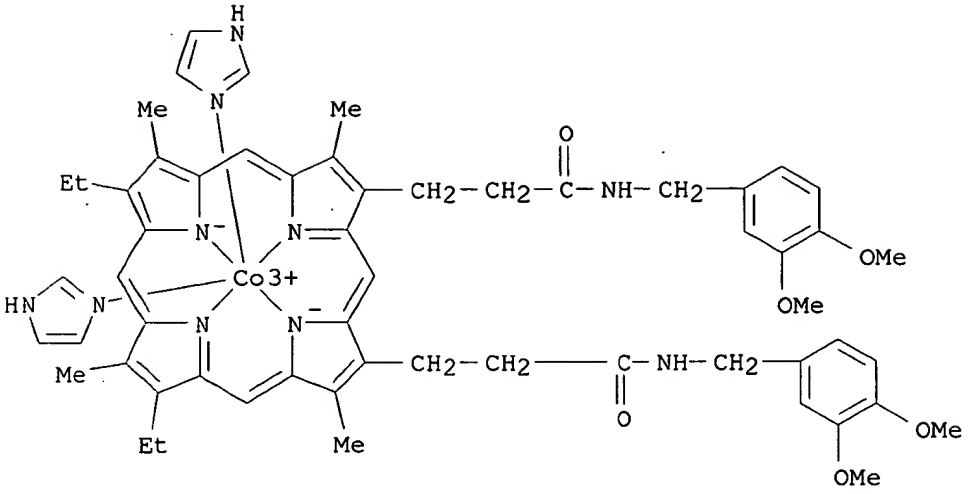
I

AB Claimed are cobalt-porphyrin (Co-P) complexes I (R1, R2 are various carboxy, oxycarbonyl, carboxamide, aminocarbonyl groups, etc.; R3, R4 = CH:CH2 or Et; L1 and L2 are optional ligands; and with proviso that the cobalt-porphyrin complex has no more than 5% of the redox activity of cobalt mesoporphyrin), or a salt, and their use as antiobesity agents, and related compns. and methods. The Co-P complexes, e.g., prepd. complex I [R1 = R2 = (CH2)2C(O)OMe, R3 = R4 Et, L1 = L2 = H2NCH2CO2-], exhibit reduced redox activity compared to cobalt mesoporphyrin (Co-MP) and cobalt protoporphyrin (Co-PP), which alleviates the deleterious effects assocd. with administration of Co-P assocd. with oxidative stress, particularly in the context of injection site toxicity. An example compd. of the invention does not trigger generation of reactive oxygen species in SH-SY5Y neuroblastoma cells compared to cobalt protoporphyrin (Co-PP).

IT **435340-45-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cobalt porphyrin complexes as antiobesity agents)

RN 435340-45-7 HCAPLUS

CN Cobalt(1+), [N,N'-bis[(3,4-dimethoxyphenyl)methyl]-7,12-diethyl-3,8,13,17-tetramethyl-21H,23H-porphine-2,18-dipropanamidato(2-)-.kappa.N21,.kappa.N22,.kappa.N23,.kappa.N24]bis(1H-imidazole-.kappa.N3)-, chloride, (OC-6-13)- (9CI) (CA INDEX NAME)



● Cl^-

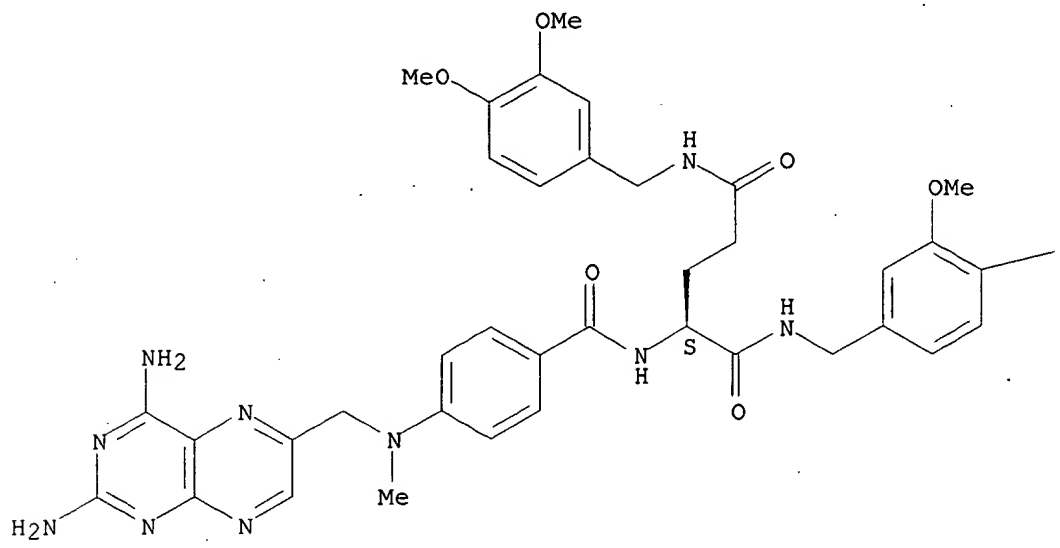
L7 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:15514 HCAPLUS
DOCUMENT NUMBER: 134:204940
TITLE: Efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa
AUTHOR(S): Lau, Hollis; Ferlan, Jill T.; Brophy, Victoria Hertle; Rosowsky, Andre; Sibley, Carol Hopkins
CORPORATE SOURCE: Department of Genetics, University of Washington, Seattle, WA, 98195-7360, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(1), 187-195
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Competitive inhibitors of dihydrofolate reductase (DHFR) are used in chemotherapy or prophylaxis of many microbial pathogens, including the eukaryotic parasites Plasmodium falciparum and Toxoplasma gondii. Unfortunately, point mutations in the DHFR gene can confer resistance to inhibitors specific to these pathogens. We have developed a rapid system for testing inhibitors of DHFRs from a variety of parasites. We replaced the DHFR gene from the budding yeast Saccharomyces cerevisiae with the DHFR-coding region from humans, P. falciparum, T. gondii, Pneumocystis carinii, and bovine or human-derived Cryptosporidium parvum. We studied 84 dicyclic and tricyclic 2,4-diaminopyrimidine derivs. in this heterologous system and identified those most effective against the DHFR enzymes from each of the pathogens. Among these compds., six tetrahydroquinazolines were effective inhibitors of every strain tested, but they also inhibited the human DHFR and were not selective for the parasites. However, two quinazolines and four tetrahydroquinazolines were both potent and selective inhibitors of the P. falciparum DHFR. These compds. show promise for development as antimalarial drugs.

IT **328402-39-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa)
RN 328402-39-7 HCAPLUS
CN Pentanediamide, 2-[[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]amino]-N,N'-bis[(3,4-dimethoxyphenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:209882 HCAPLUS
DOCUMENT NUMBER: 132:241970
TITLE: Pharmaceutical compositions containing

N-acylvanillinamide derivatives capable of activating peripheral cannabinoid receptors

INVENTOR(S): Bisogno, Tiziana; Della Valle, Francesco; De Petrocellis, Luciano; Di Marzo, Vincenzo; Marcolongo, Gabriele; Melck, Dominique

PATENT ASSIGNEE(S): Innovet Italia S.r.l., Italy; Consiglio Nazionale Delle Ricerche

SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016756	A2	20000330	WO 1999-EP6980	19990921
WO 2000016756	A3	20000908		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1302264	B1	20000905	IT 1998-MI2064	19980924
AU 9960860	A1	20000410	AU 1999-60860	19990921
EP 1115392	A2	20010718	EP 1999-947394	19990921
EP 1115392	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 229330	E	20021215	AT 1999-947394	19990921

PRIORITY APPLN. INFO.: IT 1998-MI2064 A 19980924
WO 1999-EP6980 W 19990921

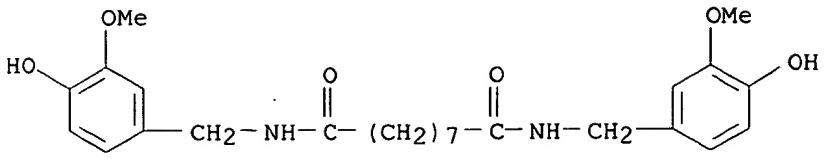
OTHER SOURCE(S): MARPAT 132:241970

AB Pharmaceutical compns. contg. N-acylvanillinamide derivs. capable of activating the peripheral receptor CB1 of cannabinoids (Markush structures) are disclosed. N-(4-hydroxy-3-methoxybenzyl)oleyalmide (I) was prepd. by the reaction of oleic acid, 4-methylmorpholine, and 4-hydroxy-3-methoxybenzylmine hydrochloride. The specific binding of I to mouse neuroblastoma cells and rat leukemia basophil cell was 1.64 .mu.M and >15 .mu.M, resp. A tablet contained 30, lactose 85, corn starch 75, talc 6, magnesium stearate 2, and CM-cellulose 2 mg.

IT **261946-50-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. contg. N-acylvanillinamide derivs. capable of activating peripheral cannabinoid receptors)

RN 261946-50-3 HCAPLUS

CN Nonanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

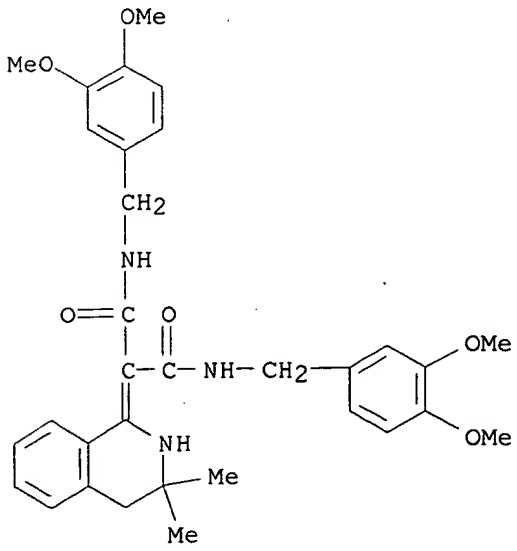


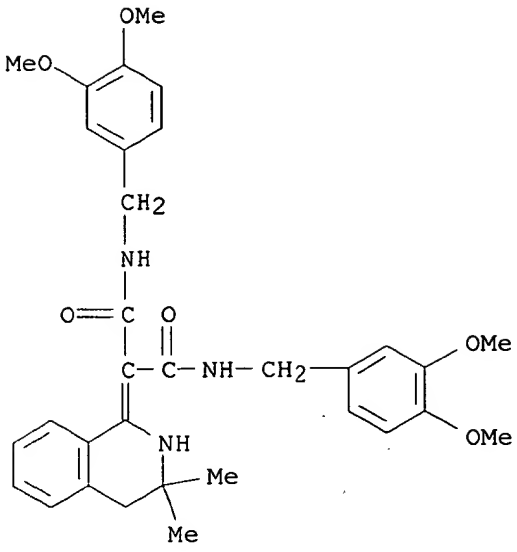
L7 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:847810 HCAPLUS
DOCUMENT NUMBER: 123:329256
TITLE: Synthesis and biological activity of substituted (3,3-dimethyl-1,2,3,4-tetrahydroisoquinolylidene-1)acet- and malonanilides
AUTHOR(S): Boronenkova, Ye. S.; Syropyatov, B. Ya.; Gorbunov, A. A.; Shklyaev, V. S.; Shklyaev, Yu. V.
CORPORATE SOURCE: Inst. Tekh. Khim., UrO RAN, Perm, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1994), (8), 18-21
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The prepn. and biol. activity of substituted (3,3-dimethyl-1,2,3,4-tetrahydroisoquinolylidene-1)acetanilides and malonanilides is described and their antiarrhythmic and platelet aggregation inhibiting activity related to the structure. The toxicity of the compds. was also studied.

IT **170658-30-7P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-related biol. activity of substituted isoquinolylidene acetanilides and malonanilides)

RN 170658-30-7 HCAPLUS
CN Propanediamide, 2-(3,4-dihydro-3,3-dimethyl-1(2H)-isoquinolinylidene)-N,N'-bis[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)





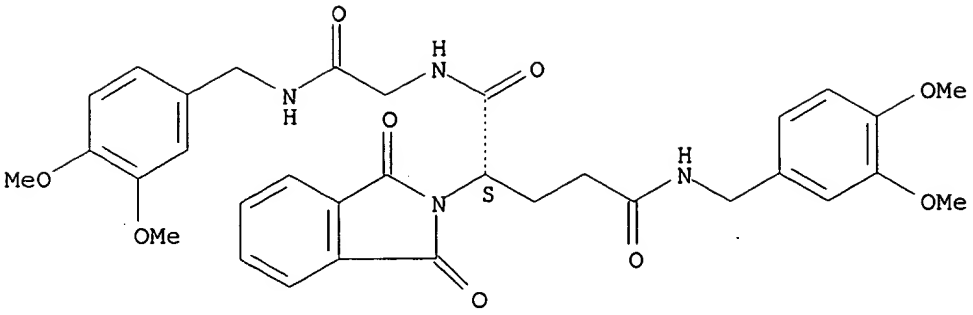
L7 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:217513 HCAPLUS
DOCUMENT NUMBER: 112:217513
TITLE: New peptide chelating systems. Synthesis of tricatechol peptide based on L-glutamyl-3,4-dimethoxybenzylamine
AUTHOR(S): Pastuszak, J. J.
CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ. Gdansk, Gdansk, PL-80-952, Pol.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1989), 331(3), 521-4
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:217513

AB Tripeptide amide H-[Glu(NHR)]2-Gly-NHR [R = CH2C6H3(OMe)2-3,4], a potential ferric ion ligand, was prepd. by stepwise couplings of phthalyl-.gamma.-glutamylveratrylamine and phthalylglycylveratrylamine.

IT **127106-79-0P 127106-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of, with hydrazine)

RN 127106-79-0 HCAPLUS
CN Pentanediamide, 2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N5-[(3,4-dimethoxyphenyl)methyl]-N1-[2-[[(3,4-dimethoxyphenyl)methyl]amino]-2-oxoethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 127106-81-4 HCAPLUS
CN Glycinamide, N2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-5-[[(3,4-dimethoxyphenyl)methyl]amino]-1,5-dioxopentyl]-N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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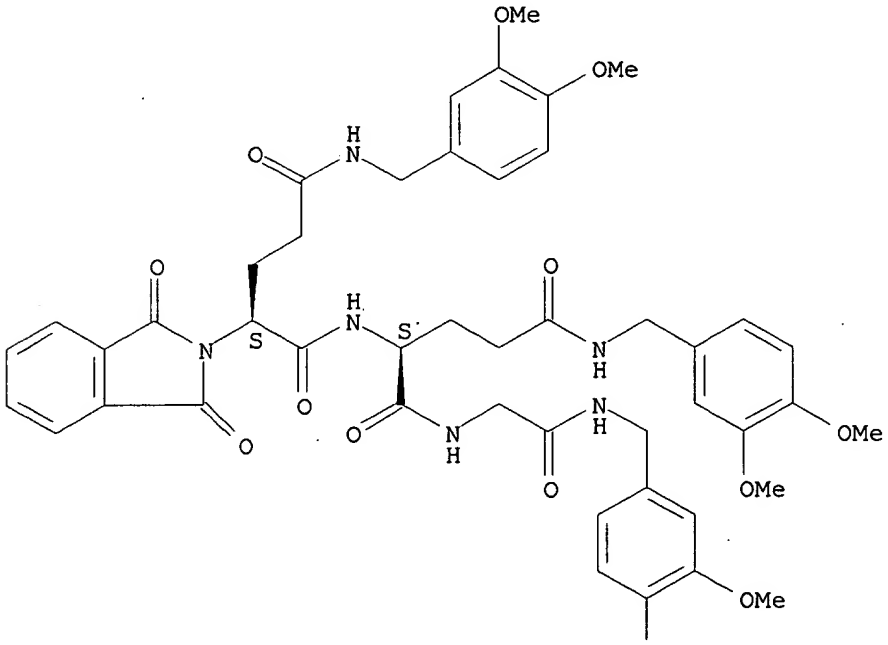

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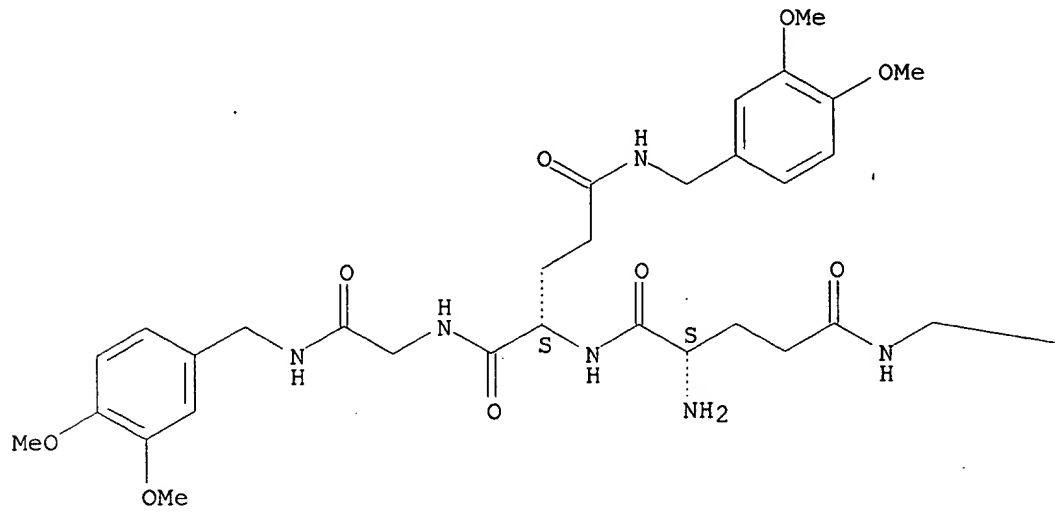
IT **127106-82-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

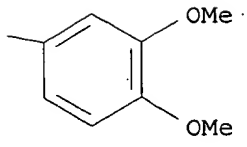
(Reactant or reagent)
(prepn. and demethylation of)
RN 127106-82-5 HCAPLUS
CN Glycinamide, N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



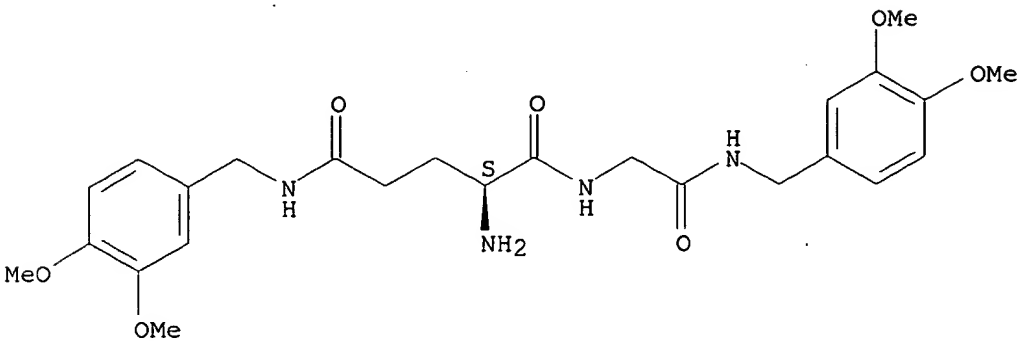
PAGE 1-B



IT **127106-80-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and peptide coupling of, with glutamic acid deriv.)
RN 127106-80-3 HCAPLUS

CN Glycinamide, N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

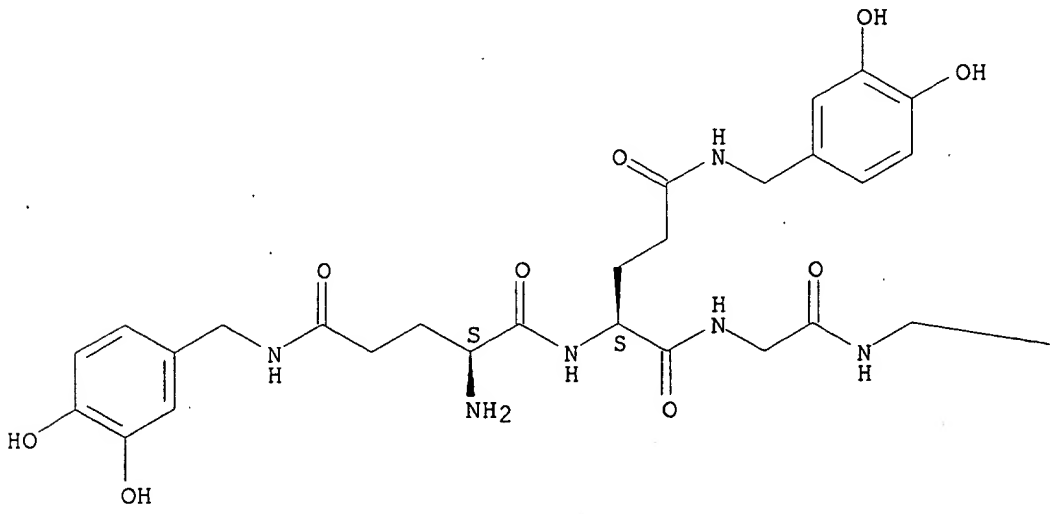
Absolute stereochemistry.

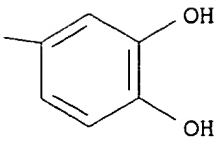


IT **127106-83-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 127106-83-6 HCAPLUS
CN Glycinamide, N-[(3,4-dihydroxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dihydroxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dihydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

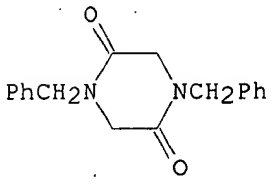
Absolute stereochemistry.

PAGE 1-A





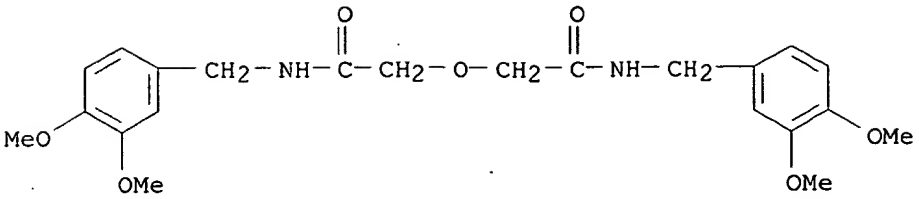
L7 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:625922 HCAPLUS
DOCUMENT NUMBER: 105:225922
TITLE: The reaction of N-substituted-.alpha.-chloroacetamide with potassium tert-butoxide
AUTHOR(S): Kido, Kazuko; Watanabe, Yasuo
CORPORATE SOURCE: Daiichi Coll. Pharma Sci., Fukuoka, Japan
SOURCE: Daiichi Yakka Daigaku Kenkyu Nenpo (1985), 16, 15-20
CODEN: DYDNDM; ISSN: 0286-8016
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I

AB N-Substituted-.alpha.-chloroacetamides were treated with KOCMe3 in Me3COH at boiling for 8 h to give 1,4-disubstituted-2,5-piperazinediones .alpha.-tert-butoxy-N-substituted acetamides and N, N'-disubstituted diglycolic diamides. Thus, PhCH2NHCOCH2Cl gave 28.9% piperazine I, 29.4% PhCH2NHCOCH2OCMe3, and 6.2% (PhCH2NHCOCH2)2O.

IT **105397-57-7P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, in reaction of benzylchloroacetamide derivs. with potassium tertiary-butoxide)
RN 105397-57-7 HCAPLUS
CN Acetamide, 2,2'-oxybis[N-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)


O
O
CH2 NH C CH2 O CH2 C NH CH2
MeO
OMe
OMe
OMe